(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
17 January 2002 (17.01.2002)

PCT

(10) International Publication Number
WO 02/04425 A2

(51) International Patent Classification[7]: C07D 235/00

(21) International Application Number: PCT/CA01/00989

(22) International Filing Date: 4 July 2001 (04.07.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/216,084	6 July 2000 (06.07.2000)	US
60/274,374	8 March 2001 (08.03.2001)	US
60/281,343	5 April 2001 (05.04.2001)	US

(71) Applicant *(for all designated States except US)*: **BOEHRINGER INGELHEIM (CANADA) LTD.** [CA/CA]; 2100 Cunard Street, Laval, Québec H7S 2G5 (CA).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **BEAULIEU, Pierre, Louis** [CA/CA]; 319 Place de l'Obier, Rosemère, Québec J7A 4H5 (CA). **FAZAL, Gulrez** [CA/CA]; 66 9ième Avenue, Roxboro, Québec H8Y 2N1 (CA). **GILLARD, James** [CA/CA]; 346 du Vallon Crescent, Rosemère, Québec J7A 4M2 (CA). **KUKOLJ, George** [CA/CA]; 521 avenue Algonquin, Mont-Royal, Québec H3C 1C9 (CA). **AUSTEL, Volkhard** [DE/DE]; Kappellenweg 7, D-88400 Biberach (DE).

(74) Agent: **BERNIER, Louise, G.**; Boehringer Ingelheim (Canada) Ltd., 2100 Cunard, Laval, Québec H7S 2G5 (CA).

(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

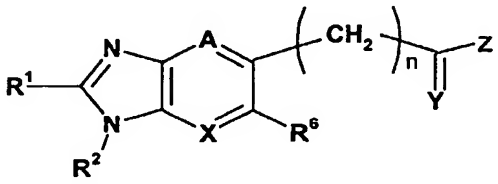
(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:

— *without international search report and to be republished upon receipt of that report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: VIRAL POLYMERASE INHIBITORS



(I)

(57) Abstract: A compound of formula (I) wherein: X is CH or N; Y is O or S; Z is OH, NH_2, $NMeR^3$, NHR^3; OR^3 or 5- or 6-membered heterocycle, having 1 to 4 heteroatoms selected from O, N and S, said heterocycle being optionally substituted with from 1 to 4 substituents; A is N, COR^7 or CR^5, wherein R^5 is H, halogen, or (C_{1-6}) alkyl and R^7 is H or $(C_{1-6}$ alkyl), with the proviso that X and A are not both N; R^6 is H, halogen, $(C_{1-6}$ alkyl) or OR^7, wherein R^7 is H or $(C_{1-6}$ alkyl); R^1 is selected from the group consisting of 5- or 6-membered heterocycle having 1 to 4 heteroatoms selected from O, N, and S, phenyl, phenyl(C_{1-3})alkyl, (C_{2-6})alkenyl, phenyl(C_{2-6})alkenyl, (C_{3-6})cycloalkyl, (C_{1-6})alkyl, CF_3, 9- or 10-membered heterobicycle having 1 to 4 heteroatoms selected from O, N and S, wherein said heterocycle, phenyl, phenyl(C_{2-6})alkenyl and phenyl(C_{1-3})alkyl, alkenyl , cycloalkyl, (C_{1-6})alkyl, and heterobicycle are all optionally substituted with from 1 to 4 substituents; R^2 is selected from (C_{1-6})alkyl, (C_{3-7})cycloalkyl, (C_{3-7})cycloalkyl(C_{1-3})alkyl, (C_{6-10})bicycloalkyl, adamantyl, phenyl, and pyridyl, all of which is optionally substituted with from 1 to 4 substituents; R^3 is selected from H, (C_{1-6})alkyl, (C_{3-6})cycloalkyl, (C_{3-6})cycloalkyl(C_{1-6})alkyl, (C_{6-10})aryl, (C_{6-10})aryl(C_{1-6})alkyl, (C_{2-6})alkenyl, (C_{3-6})cycloalkyl(C_{2-6})alkenyl, (C_{6-10})aryl(C_{2-6})alkenyl, N{(C_{1-6}) alkyl}$_2$, NHCOO(C_{1-6})alkyl(C_{6-10})aryl, NHCO(C_{6-10})aryl, (C_{1-6})alkyl-5- or 10-atom heterocycle, having 1 to 4 heteroatoms selected from O, N and S, and 5- or 10-atom heterocycle having 1 to 4 heteroatoms selected from O, N and S; wherein said alkyl, cycloalkyl, aryl, alkenyl and heterocycle are all optionally substituted with from 1 to 4 substituents; n is zero or 1; or a detectable derivative or salt thereof. The compounds of the invention may be used as inhibitors of hepatitis C virus replication. The invention further provides a method for treating or preventing hepatitis C virus infection.

WO 02/04425 A2